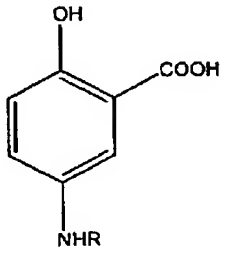
Amendments to the Claims

I claim:

1. (Currently Amended) A therapeutic 5-aminosalicylic acid derivative composition having the general formula:



wherein R is a reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative ~~with the exception that the sugar is not D-glucose~~; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent having a molecular weight that is less than about 1000 Daltons that is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether.

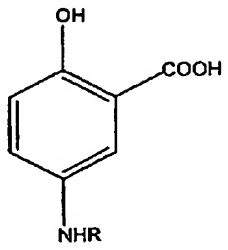
2. (Withdrawn) The therapeutic 5-aminosalicylic acid derivative composition of Claim 1, in which R is a 1-deoxy sugar.

3. (Withdrawn) The therapeutic 5-aminosalicylic acid derivative composition of Claim 2, in which said 1-deoxy sugar is a 1-deoxymonosaccharide, a 1-deoxydisaccharide, or a 1-deoxypolysaccharide.

4. (Withdrawn) The therapeutic 5-aminosalicylic acid derivative composition of Claim 2, in which said 1-deoxy sugar is a 1-deoxydisaccharide selected from the group consisting of 1-deoxymaltobiose, 1-deoxylactobiose, 1-deoxycellobiose, and N,N-diacety-1-deoxychitobiose.

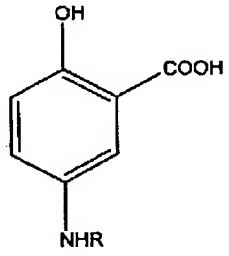
5. (Withdrawn) The therapeutic 5-aminosalicylic acid derivative composition of Claim 2, in which said 1-deoxy sugar is a 1-deoxymonosaccharide selected from the group consisting of 1-deoxygalactose, 1-deoxyfucose, 1-deoxyfructose, N-acetyl-1-deoxyglucosamine, and N-acetyl-1-deoxygalactosamine.

6. (Currently Amended) A therapeutic 5-aminosalicylic acid derivative composition having the general formula:



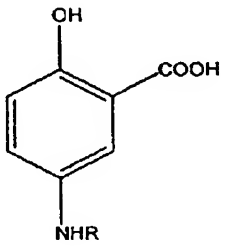
wherein R is a reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative ~~1-deoxymonosaccharide, a 1-deoxydisaccharide, or a 1-deoxy- polysaccharide, with the exception that the 1-deoxymonosaccharide is not 1-deoxyglucose~~.

7. (Currently Amended) ~~The~~ A therapeutic 5-aminosalicylic acid derivative composition having the general formula:



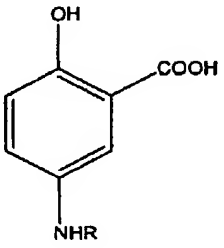
~~of Claim 1, in which~~ wherein R is a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100.

8. (Original) A therapeutic 5-aminosalicylic acid derivative composition having the general formula:



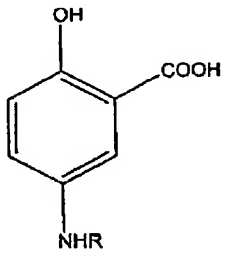
wherein R is a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from 3 to about 20.

9. (Currently Amended) ~~The~~ A therapeutic 5-aminosalicylic acid derivative composition having the general formula:



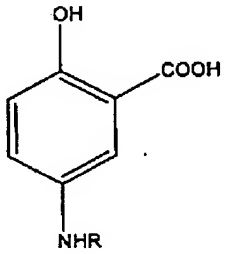
~~of Claim 1~~, wherein R is a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, n is a positive integer from one to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent having a molecular weight that is less than about 1000 Daltons that is covalently joined to the distal terminus of said poly(ethylene glycol)-containing tether.

10. (As Previously Amended) A method for preparing a therapeutic 5-aminosalicylic acid derivative composition having the general formula:



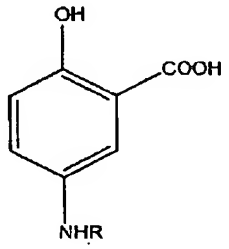
wherein R is a 1-deoxy sugar, comprising reacting the amino group of 5-aminosalicylic acid with a reducing sugar in an aqueous alcohol solution.

11. (Currently Amended) A method for preparing a therapeutic 5-aminosalicylic acid derivative composition having the general formula:



wherein R is a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100, comprising alkylating the amino group of 5-aminosalicylic acid with a poly(ethylene glycol) chain-containing ~~having an~~ aldehyde or halide ~~substituent on at least one terminus~~.

12. (Currently Amended) A method of preparing a therapeutic 5-aminosalicylic acid derivative composition having the general formula:

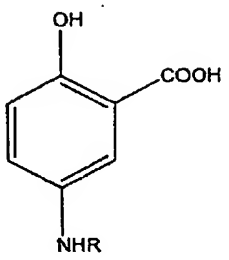


wherein R is a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent having a molecular weight that is less than about 1000 Daltons that is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether, comprising the following steps:

a) reacting the amino group of 5-aminosalicylic acid with a poly(ethylene glycol) chain-containing ~~having an~~ aldehyde or halide to provide a poly(ethylene glycol) chain-containing alkylated amino group of 5-aminosalicylic acid ~~substituent on at least one terminus~~;

b) covalently joining said drug or therapeutic agent Z to the distal ~~ether end~~ terminus of said poly(ethylene glycol) chain-containing alkylated amino group of 5-aminosalicylic acid.

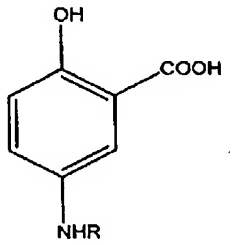
13. (Currently Amended) A pharmaceutical composition suitable for administration to a subject in need thereof comprising a physiologically active therapeutic agent composition and a pharmaceutical carrier, wherein said therapeutic agent composition comprises a physiologically active 5-aminosalicylic acid derivative composition having the general formula:



(I)

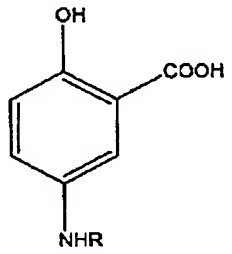
wherein R is a ~~1-deoxy~~ reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative ~~with the exception that the sugar is not D-glucose~~; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent having a molecular weight that is less than about 1000 Daltons that is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether.

14. (Currently Amended) A method of prophylactically or interventionally treating an inflammatory disease in the gastrointestinal tract of a human or non-human mammalian subject, comprising administering to the subject an effective amount of a therapeutic 5-aminosalicylic acid derivative composition having the general formula:



~~(I)~~, wherein R is a ~~1-deoxy~~ reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent having a molecular weight that is less than about 1000 Daltons that is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether.

15. (Currently Amended) A method of prophylactically or interventionally delivering 5-aminosalicylic acid to the gastrointestinal tract of a subject comprising administering to the subject a pharmaceutical formulation comprising an effective amount of a therapeutic 5-aminosalicylic acid derivative composition having the general formula:

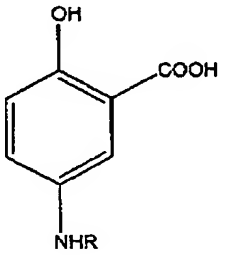


(I), wherein R is a ~~1-deoxy~~ reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent that is selected from the group consisting of lipoic acid, immunomodulators, antibacterials, and antioxidants, wherein the drug or therapeutic agent is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether; and a pharmaceutical carrier.

16. (Currently Amended) A method of stabilizingly and structurally modifying 5-aminosalicylic acid in a manner that enhances its retention in the gastrointestinal tract

and decreases the transfer of said acid from the lumen of the gastrointestinal tract to the systemic circulation of a subject comprising covalently conjugating the nitrogen atom of the amino group of 5-aminosalicylic acid to a ~~1-deoxy~~ reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative; a poly(ethylene glycol)-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, wherein R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent that is selected from the group consisting of lipoic acid, immunomodulators, antibacterials, and antioxidants, wherein the drug or therapeutic agent is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether.

17. (Currently Amended) A physiologically active therapeutic 5-aminosalicylic acid derivative composition having the general formula:

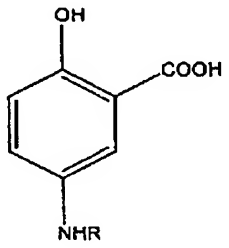


wherein R is a reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose,

cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative ~~deoxysugar, with the exception that the deoxysugar is not 1-deoxyglucose~~; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent that is selected from the group consisting of lipoic acid, immunomodulators, antibacterials, and antioxidants, wherein the drug or therapeutic agent ~~that~~ is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether, wherein the derivative composition is active in the prophylaxis or treatment of inflammatory conditions or disease states in a mammalian subject or a cell or tissue from said subject ~~therefrom~~.

18. (Original) The A composition according to claim 17, wherein the therapeutic 5-aminosalicylic acid derivative composition has an enhanced *in vivo* resistance to enzymatic degradation, relative to 5-aminosalicylic acid alone.

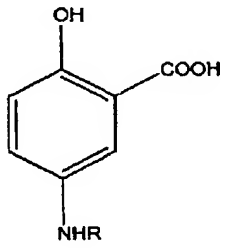
19. (Currently Amended) A physiologically active therapeutic 5-aminosalicylic acid derivative composition having the general formula:



wherein R is a reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative ~~deoxysugar, with the exception that the deoxysugar is not 1-deoxyglucose~~; a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is a drug or therapeutic agent that is selected from the group consisting of lipoic acid, immunomodulators, antibacterials, and antioxidants, wherein the drug or therapeutic agent ~~that~~ is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether, wherein the derivative composition is active in the prophylaxis or treatment of inflammatory conditions or disease states in a mammalian subject or a cell or tissue from said subject ~~therefrom~~.

20. (Currently Amended) A method of stabilizingly and structurally modifying 5-aminosalicylic acid in a manner that enhances its retention in the gastrointestinal tract and decreases the transfer of said acid from the lumen of the gastrointestinal tract to the systemic circulation of a subject comprising covalently conjugating the nitrogen atom of the amino group of 5-aminosalicylic acid to a ~~1-deoxy~~ reducing sugar that is selected from the group consisting of galactose, fucose, fructose, N-acetylglucosamine, N-acetylgalactosamine, maltobiose, lactobiose, cellobiose, and N,N-diacetylchitobiose, wherein a covalent bond to the oxygen of a hydroxyl group originally substituted on the reducing sugar has been replaced by a covalent bond to the nitrogen of the amino group of the 5-aminosalicylic acid derivative; a poly(ethylene glycol)-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, wherein R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100; or a poly(ethylene glycol) chain-containing tether having the general formula $-CH_2-(CH_2CH_2O)_n-(CH_2)_m-Z$, in which n is a positive integer from about 3 to about 100, m is 2, 3, or 4, and Z is ~~a drug or therapeutic agent selected from the group consisting of~~ lipoic acid, ~~immunomodulators, antibacterials, and antioxidants~~, wherein lipoic acid ~~that~~ is covalently joined to the distal terminus of said poly(ethylene glycol) chain-containing tether.

21. (New) A method for preparing a therapeutic 5-aminosalicylic acid derivative composition having the general formula:



wherein R is a poly(ethylene glycol) chain-containing residue having the general formula $-CH_2CH_2CH_2-(CH_2CH_2O)_n-R_1$, R_1 is H or a linear or branched lower alkyl group having from one to about 6 carbons, and n is a positive integer from about 3 to about 100, comprising reacting the aldehyde group of a poly(ethylene glycol) chain-containing aldehyde with the amino group of 5-aminosalicylic acid to provide an imine intermediate and reducing the imine intermediate.